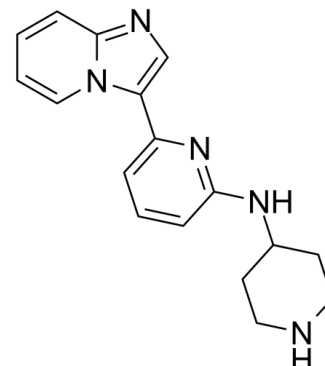


Data Sheet

Product Name:	IRAK inhibitor 1
Cat. No.:	CS-0603
CAS No.:	1042224-63-4
Molecular Formula:	C ₁₇ H ₁₉ N ₅
Molecular Weight:	293.37
Target:	IRAK
Pathway:	Immunology/Inflammation; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 12.2 mg/mL (41.59 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

IRAK inhibitor 1 is a potent **IRAK-4** inhibitor with **IC₅₀** of 216 nM, is poorly active against JNK-1 and JNK-2 with **IC₅₀** of 3.801 μ M, and >10 μ M, respectively. IC₅₀ & Target: IC₅₀: 216 nM (IRAK-4), 3.801 μ M (JNK-1), >10 μ M (JNK-2)^[1] **In Vitro**: IRAK inhibitor 1 possesses significant potency in an IRAK-4 enzyme assay but is poorly active against JNK-1 and JNK-2^[1]. IRAK-4 is a novel member of the IRAK family with unique functional properties. IRAK-4 is the closest human homolog to Pelle. Endogenous IRAK-4 interacts with IRAK-1 and TRAF6 in an IL-1-dependent manner, and overexpression of IRAK-4 can activate NF- κ B as well as mitogen-activated protein (MAP) kinase pathways. Most strikingly, and in contrast to the other IRAKs, IRAK-4 depends on its kinase activity to activate NF- κ B. In addition, IRAK-4 is able to phosphorylate IRAK-1, and overexpression of dominant-negative IRAK-4 is blocking the IL-1-induced activation and modification of IRAK-1, suggesting a role of IRAK-4 as a central element in the early signal transduction of Toll/IL-1 receptors, upstream of IRAK-1. IRAK-4 shares the domain structure of the other IRAKs and it is able to activate similar signal transduction pathways, namely NF- κ B and MAPK pathways. It rapidly and transiently associates with IRAK-1 and TRAF6 in an IL-1-dependent manner but it is not functionally redundant with IRAK-1. IRAK-4 is an active protein kinase and requires its kinase activity to activate NF- κ B. IRAK-4 might act upstream of IRAK-1 as an IRAK-1 activator^[2].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: Cell Assay: Animal Administration:

References:

- [1]. Buckley GM, et al. IRAK-4 inhibitors. Part II: A structure-based assessment of imidazo[1,2-a]pyridine binding. Bioorg Med Chem Lett. 2008 Jun 1;18(11):3291-5.
- [2]. Li S, et al. IRAK-4: a novel member of the IRAK family with the properties of an IRAK-kinase. Proc Natl Acad Sci U S A. 2002 Apr 16;99(8):5567-72.

CAIndexNames:

2-Pyridinamine, 6-imidazo[1,2-a]pyridin-3-yl-N-4-piperidinyl-

SMILES:

C1(C2=CN=C3N2C=CC=C3)=CC=CC(NC4CCNCC4)=N1

Caution: Product has not been fully validated for medical applications. For research use only.

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